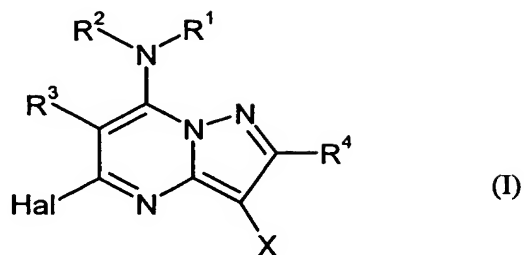


Patent Claims

1. Pyrazolopyrimidines of the formula



in which

5 R^1 represents optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, or optionally substituted heterocyclyl,

10 R^2 represents hydrogen or alkyl, or

R^1 and R^2 together with the nitrogen atom to which they are bound, represent a optionally substituted heterocyclic ring,

R^3 represents optionally substituted heterocyclyl,

15 R^4 represents hydrogen or alkyl,

Hal represents halogen and

20 X represents halogen, cyano, nitro, alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxyalkyl, alkoxyalkyl, halogenalkyl, cycloalkyl, formyl, thiocarbamoyl, alkoxycarbonyl, alkylcarbonyl, hydroxyiminoalkyl, alkoximinoalkyl, alkylthio, alkylsulphinyl, alkylsulphonyl or alkylaminocarbonyl.

2. Pyrazolopyrimidines of the formula (I) according to Claim 1, in which

25 R^1 represents alkyl having 1 to 6 carbon atoms, which may be substituted one to five times, identically or differently, by halogen, cyano, hydroxy, alkoxy having 1 to 4 carbon atoms and/or cycloalkyl having 3 to 6 carbon atoms, or

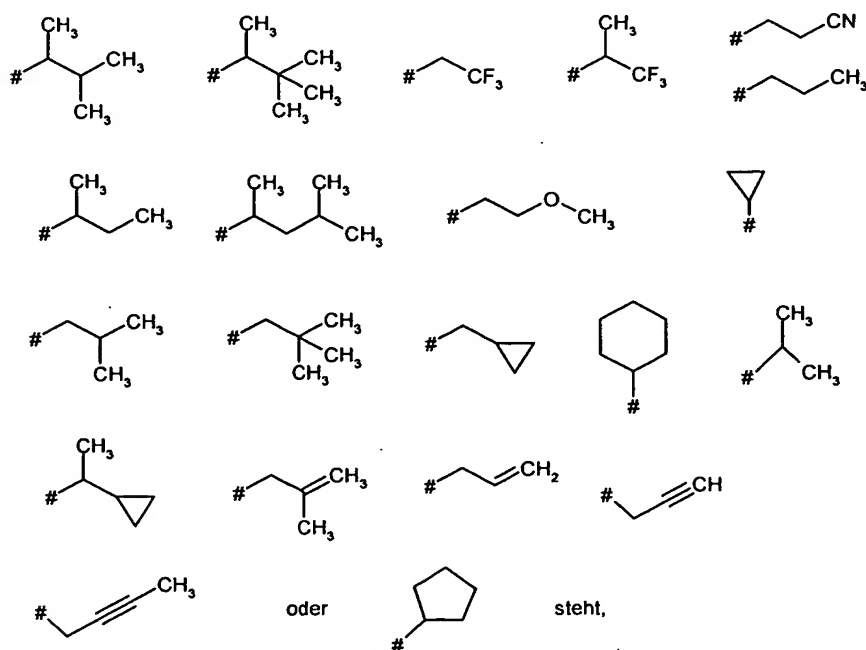
- R¹ represents alkenyl having 2 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen, cyano, hydroxy, alkoxy having 1 to 4 carbon atoms and/or cycloalkyl having 3 to 6 carbon atoms, or
- 5 R¹ represents alkynyl having 2 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen, cyano, alkoxy having 1 to 4 carbon atoms and/or cycloalkyl having 3 to 6 carbon atoms, or
- 10 R¹ represents cycloalkyl having 3 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen and/or alkyl having 1 to 4 carbon atoms, or
- 15 R¹ represents saturated or unsaturated heterocyclyl having 5 or 6 ring members and 1 to 3 heteroatoms, such as nitrogen, oxygen, and/or sulphur, the heterocyclyl able to be substituted once or twice by halogen, alkyl having 1 to 4 carbon atoms, cyano, nitro and/or cycloalkyl having 3 to 6 carbon atoms,
- R² represents hydrogen or alkyl having 1 to 4 carbon atoms, or
- 20 R¹ and R² together with the nitrogen atom to which they are bound, represent a saturated or unsaturated heterocyclic ring having 3 to 6 ring elements, the heterocyclic compound able to contain a further nitrogen, oxygen, or sulphur atom as a ring element and the heterocyclic compound able to be substituted up to three times by fluoride, chloride, bromide, nitro, alkyl having 1 to 4 carbon atoms and/or halogenalkyl having 1 to 4 carbon atoms and 1 to 9 fluorine and/or chlorine atoms,
- 25 R³ represents saturated or unsaturated heterocyclyl having 5 or 6 ring members and 1 to 4 heteroatoms, such as oxygen, nitrogen and/or sulphur, the heterocyclyl being able to be substituted one to four times, identically or differently by
- 30 fluoride, chloride, bromide, cyano, nitro, alkyl, alkoxy, hydroximinoalkyl or alkoximinoalkyl each having 1 to 3 carbon atoms in each alkyl part,
- halogenalkyl or halogenalkoxy each having 1 to 3 carbon atoms and 1 to 7 halogen atoms,
- 35 R⁴ represents hydrogen or alkyl having 1 to 4 carbon atoms

Hal represents fluoride, chloride, or bromide and

X represents cyano, fluoride, chloride, bromide, iodide, nitro, formyl, halogenalkyl having 1 to 6 carbon atoms and 1 to 9 fluoride, chloride and/or bromide atoms, alkyl having 1 to 4 carbon atoms, alkenyl having 2 to 6 carbon atoms, alkenyl, substituted by carboxyl, methoxycarbonyl, or ethoxycarbonyl, having 2 to 5 carbon atoms in the alkenyl part, alkynyl having 2 to 6 carbon atoms, alkynyl, substituted by carboxyl, methoxycarbonyl, or ethoxycarbonyl, having 2 to 5 carbon atoms in the alkynyl part, hydroxyalkyl having 1 to 4 carbon atoms, alkoxyalkyl having 1 to 4 carbon atoms in the alkoxy part and 1 to 4 carbon atoms in the alkyl part, cycloalkyl having 3 to 6 carbon atoms, thiocarbamoyl, alkoxy carbonyl having 1 to 4 carbon atoms in the alkoxy part, alkyl carbonyl having 1 to 4 carbon atoms in the alkyl part, hydroximinoalkyl having 1 to 4 carbon atoms in the alkyl part, alkoximinoalkyl having 1 to 4 carbon atoms in the alkoxy part and 1 to 4 carbon atoms in the alkyl part, alkylthio having 1 to 4 carbon atoms, alkylsulphinyl having 1 to 4 carbon atoms, alkylsulphonyl having 1 to 4 carbon atoms or alkylaminocarbonyl having 1 to 4 carbon atoms in the alkyl part.

3. Pyrazolopyrimidines of the formula (I) according to Claim 1 or 2, in which

R¹ represents a residue of the formula



(Key: oder = or
steht = represents)
marking the linkage point,

5 R^2 represents hydrogen, methyl, ethyl or propyl, or

R^1 and R^2 together with the nitrogen atom to which they are bound, represent pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, piperazinyl, 3,6-dihydro-1(2H)-piperidinyl or tetrahydro-1(2H)-pyridazinyl, these residues being able to be substituted by 1 to 3 fluoride atoms, 1 to 3 methyl groups and/or trifluoromethyl, or

10

R^1 and R^2 together with the nitrogen atom to which they are bound, represent a residue of the formula



(Key: oder = or)
in which

20 R' represents hydrogen or methyl,

R'' represents methyl, ethyl, fluorine, chlorine or trifluoromethyl,

25

m represents the numbers 0, 1, 2 or 3, R'' representing identical or different residues if m represents 2 or 3,

R''' represents methyl, ethyl, fluorine, chlorine or trifluoromethyl and

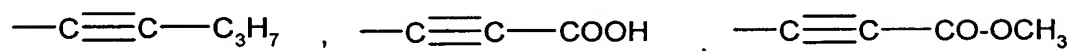
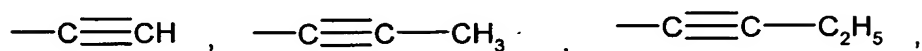
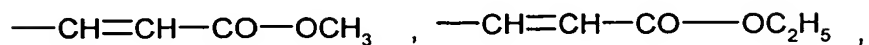
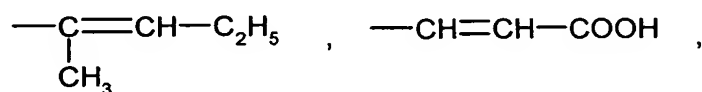
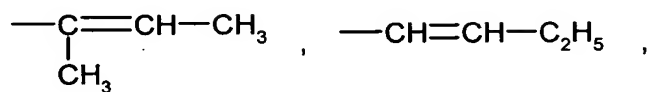
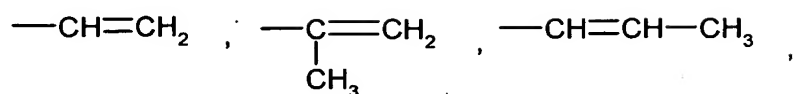
30

n represents the numbers 0, 1, 2 or 3, R''' representing identical or different residues if n represents 2 or 3,

R^3 represents pyridyl, which is linked in the second or fourth position and may be substituted one to four times, identically or differently, by fluoride, chloride,

bromide, cyano, nitro, methyl, ethyl, methoxy, methylthio, hydroximinomethyl, hydroximinoethyl, methoximinomethyl, methoximinoethyl and/or trifluoromethyl, or

- 5 R^3 represents pyrimidyl, which is linked in the second or fourth position and may be substituted one to three times, identically or differently, by fluoride, chloride, bromide, cyano, nitro, methyl, ethyl, methoxy, methylthio, hydroximinomethyl, hydroximinoethyl, methoximinomethyl, methoximinoethyl and/or trifluoromethyl, or
- 10 R^3 represents thienyl, which is linked in the second or third position and may be substituted one to three times, identically or differently, by fluoride, chloride, bromide, cyano, nitro, methyl, ethyl, methoxy, methylthio, hydroximinomethyl, hydroximinoethyl, methoximinomethyl, methoximinoethyl and/or trifluoromethyl, or
- 15 R^3 represents thiazolyl, which is linked in the second, fourth, or fifth position and may be substituted once or twice, identically or differently, by fluoride, chloride, bromide, cyano, nitro, methyl, ethyl, methoxy, methylthio, hydroximinomethyl, hydroximinoethyl, methoximinomethyl, methoximinoethyl and/or trifluoromethyl,
- 20 R^4 represents hydrogen, methyl, ethyl, propyl or isopropyl
- Hal represents fluoride or chloride and
- 25 X represents cyano, fluoride, chloride, bromide, iodide, nitro, formyl, trifluoromethyl, difluoromethyl, methyl, ethyl, cyclopropyl, thiocarbamoyl, methoxycarbonyl, methylcarbonyl, ethylcarbonyl, hydroximinomethyl, methoximinomethyl, methylthio, methylsulphinyl, methylsulphonyl,
- 30 X represents a residue of the formula



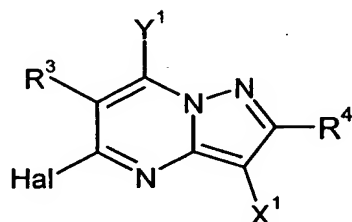
oder $-\text{C}\equiv\text{C}-\text{CO}-\text{OC}_2\text{H}_5$ steht.

(Key: oder = or
steht = represents)

5

4. A method for producing pyrazolopyrimidines of the formula (I) according to Claim 1, characterized in that one reacts

- a) halogen pyrazolopyrimidines of the formula



(II)

10

in which

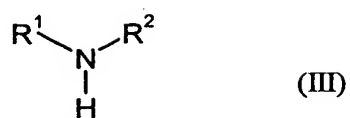
R^3 , R^4 , and Hal have the meanings specified above,

15

X^1 represents halogen, cyano, nitro, alkyl, halogenalkyl, cycloalkyl, formyl, thiocarbamoyl, alkoxycarbonyl, alkylcarbonyl, alkylthio, alkylsulphinyl, alkylsulphonyl or alkylaminocarbonyl and

Y^1 represents halogen,

with amines of the formula



in which

5

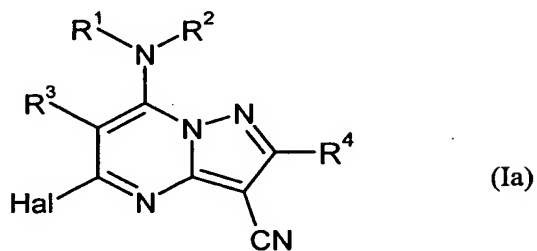
R^1 and R^2 have the meanings specified above,

optionally in the presence of a diluent, optionally in the presence of a catalyst, and optionally in the presence of an acid acceptor,

10

or

b) pyrazolopyrimidines of the formula



15

in which

R^1 , R^2 , R^3 , R^4 , and Hal have the meanings specified above,

either

20

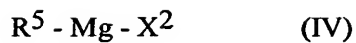
α) are reacted with diisobutyl aluminum hydride in the presence of aqueous ammonium chloride solution and in the presence of an organic diluent,

or

25

β) are reacted with Grignard compounds of the formula

- 78 -



in which

5 R^5 represents alkyl

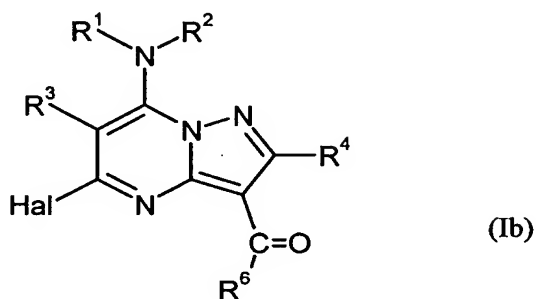
X^2 represents chloride or bromide,

in the presence of a diluent and optionally in the presence of a catalyst,

10

or

c) pyrazolopyrimidines of the formula



15 in which

R^1 , R^2 , R^3 , R^4 , and Hal have the meanings specified above and

R^6 represents hydrogen or alkyl,

20

either

α) are reacted with amino compounds of the formula

25



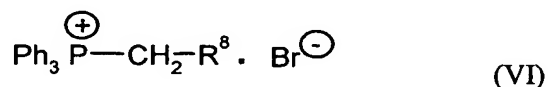
in which

R^7 represents hydrogen or alkyl,

in the presence of a diluent and optionally in the presence of a catalyst, the amino compounds of the formula (V) also being able to be used in the form of their acid addition salts,

or

β) are reacted with triphenylphosphonium salts of the formula



in which

Ph represents phenyl and

R⁸ represents hydrogen or optionally substituted alkyl,

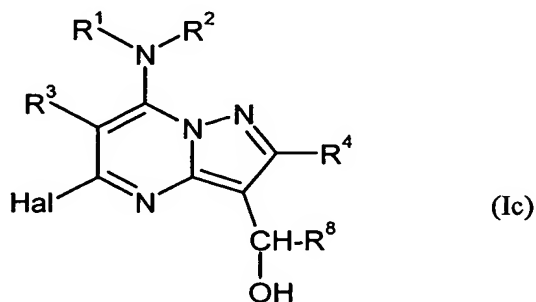
in the presence of a base and in the presence of a diluent,

or

γ) are reacted with diisobutyl aluminum hydride in the presence of aqueous ammonium chloride solution and in the presence of an organic diluent,

or are reacted with sodium borohydride in the presence of a diluent,

and optionally the resulting pyrazolopyrimidines of the formula



in which

R^1 , R^2 , R^3 , R^4 , R^8 , and Hal have the meanings specified above,

are reacted with alkylation agents of the formula



in which

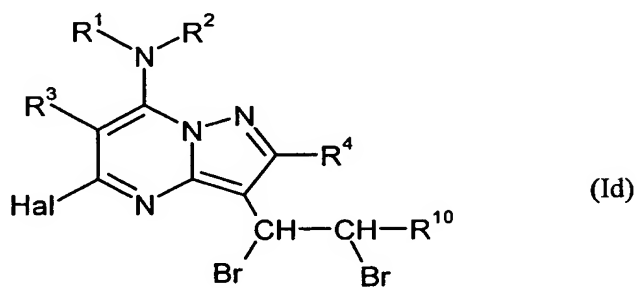
R^9 represents alkyl

X^3 represents chloride, bromide, iodide or the residue R^9O-SO_2-O- ,

optionally in the presence of a base and in the presence of a diluent,

or

d) pyrazolopyrimidines of the formula



in which

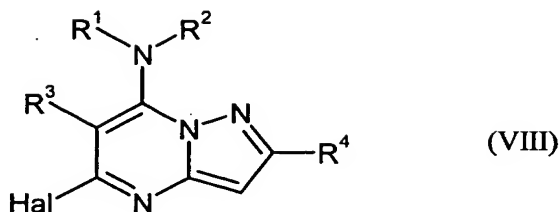
R^1 , R^2 , R^3 , R^4 and Hal have the meanings specified above,

R^{10} represents hydrogen or optionally substituted alkyl,

are reacted with strong bases in the presence of a diluent,

or

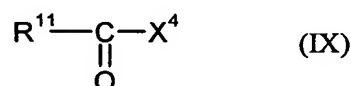
e) pyrazolopyrimidines of the formula



in which

R^1 , R^2 , R^3 , R^4 and Hal have the meanings specified above,

are reacted with acyl derivatives of the formula



5

in which

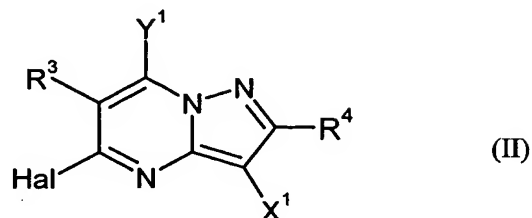
R^{11} represents alkyl and

X^4 represents chloride or a residue of the formula $-\overset{\overset{O}{\parallel}}{\underset{\underset{O}{\parallel}}{C}}-R^{11}$,

in the presence of a catalyst and in the presence of a diluent.

- 10 5. Agents for combating undesired micro-organisms,
characterized by a content of at least one pyrazolopyrimidine of the formula (I) according
to one or more of Claims 1 through 3, in addition to extenders and/or surfactants.
6. A use of pyrazolopyrimidines of the formula (I) according to one or more of Claims 1
15 through 3 for combating undesired micro-organisms.
7. A method for combating undesired micro-organisms,
characterized in that pyrazolopyrimidines of the formula (I) according to one or more of
Claims 1 through 3 are applied to the undesired micro-organisms and/or their living space.
- 20 8. A method for producing agents for combating undesired micro-organisms,
characterized in that pyrazolopyrimidines of the formula (I) according to one or more of
Claims 1 through 3 are mixed with extenders and/or surfactants.

9. Halogen pyrazolopyrimidines of the formula



in which

R³ represents optionally substituted heterocyclyl,

5 R⁴ represents hydrogen or alkyl,

Hal represents halogen,

X¹ represents halogen, cyano, nitro, alkyl, halogenalkyl, cycloalkyl, formyl, thiocarbamoyl, alkoxy carbonyl, alkyl carbonyl, alkylthio, alkylsulphinyl, alkylsulphonyl or alkylaminocarbonyl and

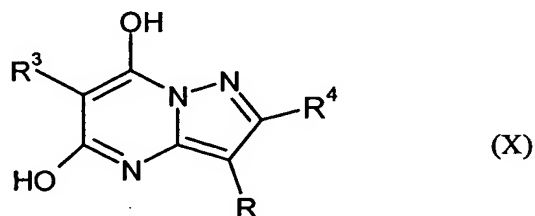
10

Y¹ represents halogen.

10. A method for producing halogen pyrazolopyrimidines of the formula (II) according to Claim 9,

characterized in that

15 f) hydroxy pyrazolopyrimidines of the formula



in which

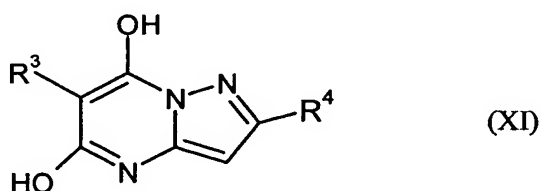
R³ and R⁴ have the meanings specified in Claim 9, and

R represents halogen, cyano, nitro, alkyl, halogenalkyl, cycloalkyl, thio-carbamoyl, alkoxy-carbonyl, alkylthio, alkylsulphanyl, alkylsulphonyl or alkylaminocarbonyl,

are reacted with halogenation agents, optionally in the presence of a diluent,

5 or

g) hydroxy pyrazolopyrimidines of the formula

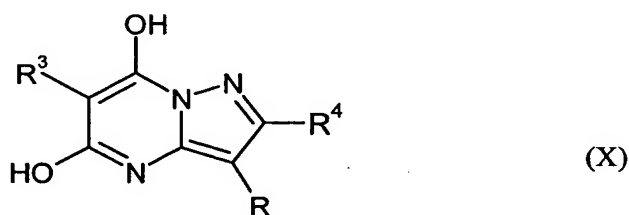


in which

R³ and R⁴ have the meanings specified in Claim 9,

10 are reacted with phosphorus oxychloride in the presence of dimethyl formamide and optionally reacted further while adding phosphorus pentachloride.

11. Hydroxy pyrazolopyrimidines of the formula



in which

15 R³ represents optionally substituted heterocyclyl,

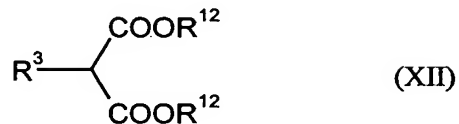
R⁴ represents hydrogen or alkyl and

R represents halogen, cyano, nitro, alkyl, halogenalkyl, cycloalkyl, thiocarbamoyl, alkoxy-carbonyl, alkylthio, alkylsulphanyl, alkylsulphonyl or alkylaminocarbonyl.

12. A method for producing hydroxy pyrazolopyrimidines of the formula (X) according to Claim 11, characterized in that

20

(h) heterocyclyl malonic esters of the formula

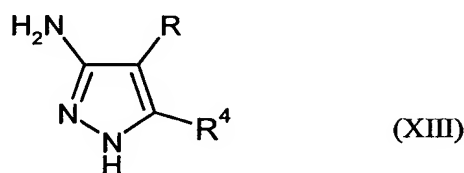


in which

R^3 has the meaning specified in Claim 11 and

5 R^{12} represents alkyl having 1 to 4 carbon atoms,

are reacted with aminopyrazoles of the formula

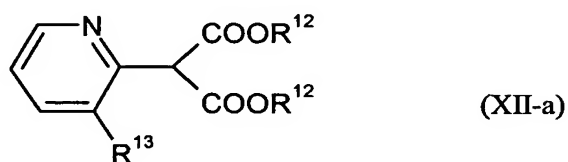


in which

R^4 and R have the meanings specified in Claim 11,

10 optionally in the presence of a diluent and optionally in the presence of an acid binder.

13. Pyridyl malonic esters of the formula



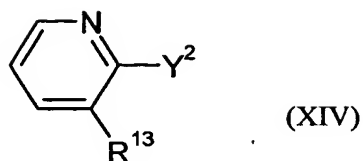
in which

R^{12} represents alkyl having 1 to 4 carbon atoms and

15 R^{13} represents halogen or halogenalkyl.

14. A method for producing pyridyl malonic esters of the formula (XII-a) according to Claim 13, characterized in that

(i) halopyridines of the formula

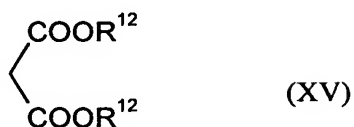


in which

R¹³ has the meaning specified in Claim 13 and

Y² represents halogen,

5 are reacted with malonic esters of the formula

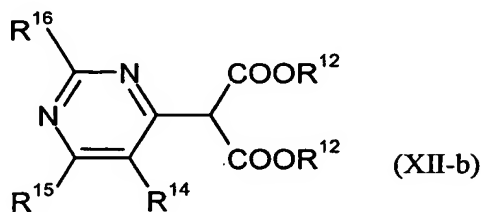


in which

R¹² has the meaning specified in Claim 13,

optionally in the presence of a diluent, optionally in the presence of a copper salt and
 10 optionally in the presence of an acid acceptor.

15. Pyrimidyl malonic esters of the formula



in which

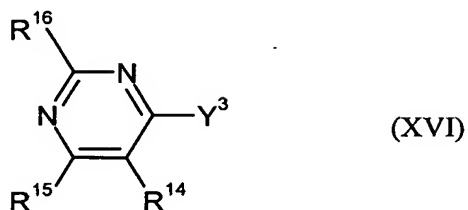
R¹² represents alkyl having 1 to 4 carbon atoms,

15 R¹⁴ represents halogen or halogen alkyl, and

R¹⁵ and R¹⁶ independently of one another, represent hydrogen, fluoride, chloride, bromide, methyl, ethyl or methoxy.

16. A method for producing pyrimidyl malonic esters of the formula (XII-b) according to Claim 15, characterized in that

(j) halopyrimidines of the formula

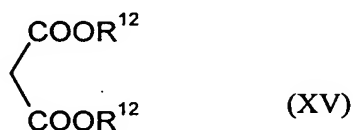


5 in which

R^{14} , R^{15} and R^{16} have the meanings specified in Claim 15 and

Y^3 represents halogen,

are reacted with malonic esters of the formula



10 in which

R^{12} has the meaning specified in Claim 15,

optionally in the presence of a diluent, optionally in the presence of a copper salt and optionally in the presence of an acid acceptor.